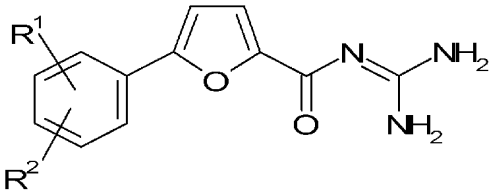
Claims

[1] A furancarbonylguanidine derivative represented by the following Formula 1 and pharmaceutically acceptable salts thereof.

[Formula 1]



(I)

(Wherein, R^1 and R^2 are each independently H, F, Cl, Br, I, CF_3, SO_2CH_3, NO_2, NH_2, $C_1 \sim C_5$ straight or branched alkyl, or OR^a. And, R^a is H, CF_3, $C_1 \sim C_5$ straight or branched alkyl, or phenyl.)

[2] The furancarbonylguanidine derivative and pharmaceutically acceptable salts thereof as set forth in claim 1, wherein the compound of Formula 1 comprises:

1) [5-(2-fluorophenyl)furan-2-ylcarbonyl]guanidine,

2) [5-(3-fluorophenyl)furan-2-ylcarbonyl]guanidine,

3) [5-(4-fluorophenyl)furan-2-ylcarbonyl]guanidine,

4) [5-phenylfuran-2-ylcarbonyl]guanidine,

5) [5-(2-chlorophenyl)furan-2-ylcarbonyl]guanidine,

6) [5-(3-chlorophenyl)furan-2-ylcarbonyl]guanidine,

7) [5-(4-chlorophenyl)furan-2-ylcarbonyl]guanidine,

8) [5-(2-methylphenyl)furan-2-ylcarbonyl]guanidine,

9) [5-(3-methylphenyl)furan-2-ylcarbonyl]guanidine,

10) [5-(4-methylphenyl)furan-2-ylcarbonyl]guanidine,

11) [5-[2-(trifluoromethyl)phenyl]furan-2-ylcarbonyl]guanidine,

12) [5-[3-(trifluoromethyl)phenyl]furan-2-ylcarbonyl]guanidine,

13) [5-[4-(trifluoromethyl)phenyl]furan-2-ylcarbonyl]guanidine,

14) [5-(2-methoxyphenyl)furan-2-ylcarbonyl]guanidine,

15) [5-(3-methoxyphenyl)furan-2-ylcarbonyl]guanidine,

16) [5-(4-methoxyphenyl)furan-2-ylcarbonyl]guanidine,

17) [5-(2-nitrophenyl)furan-2-ylcarbonyl]guanidine,

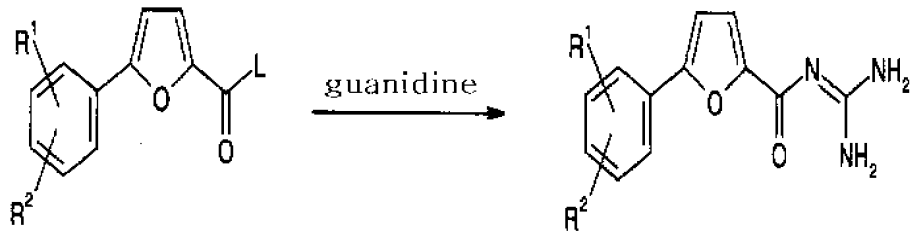
18) [5-(3-nitrophenyl)furan-2-ylcarbonyl]guanidine,

19) [5-(4-nitrophenyl)furan-2-ylcarbonyl]guanidine,

20) [5-(2-aminophenyl)furan-2-ylcarbonyl]guanidine,
21) [5-(3-aminophenyl)furan-2-ylcarbonyl]guanidine,
22) [5-(4-aminophenyl)furan-2-ylcarbonyl]guanidine,
23) [5-(2-ethylphenyl)furan-2-ylcarbonyl]guanidine,
24) [5-(2-ethoxyphenyl)furan-2-ylcarbonyl]guanidine,
25) [5-(2-isopropoxyphenyl)furan-2-ylcarbonyl]guanidine,
26) [5-(2-phenoxyphenyl)furan-2-ylcarbonyl]guanidine,
27) [5-(2,6-difluorophenyl)furan-2-ylcarbonyl]guanidine,
28) [5-(3,5-difluorophenyl)furan-2-ylcarbonyl]guanidine,
29) [5-(2,4-difluorophenyl)furan-2-ylcarbonyl]guanidine,
30) [5-(2,5-difluorophenyl)furan-2-ylcarbonyl]guanidine,
31) [5-(2,3-difluorophenyl)furan-2-ylcarbonyl]guanidine,
32) [5-(2-chloro-6-fluorophenyl)furan-2-ylcarbonyl]guanidine,
33) [5-(2-fluoro-5-methylphenyl)furan-2-ylcarbonyl]guanidine,
34) [5-(2-methyl-5-fluorophenyl)furan-2-ylcarbonyl]guanidine,
35) [5-(2-methoxy-5-fluorophenyl)furan-2-ylcarbonyl]guanidine,
36) [5-(3,5-dichlorophenyl)furan-2-ylcarbonyl]guanidine,
37) [5-(2,3-dichlorophenyl)furan-2-ylcarbonyl]guanidine,
38) [5-(2,5-dichlorophenyl)furan-2-ylcarbonyl]guanidine,
39) [5-(2-methoxy-5-chlorophenyl)furan-2-ylcarbonyl]guanidine,
40) [5-(2-chloro-5-trifluoromethylphenyl)furan-2-ylcarbonyl]guanidine,
41) [5-(2,6-dimethylphenyl)furan-2-ylcarbonyl]guanidine,
42) [5-(3,5-dimethylphenyl)furan-2-ylcarbonyl]guanidine,
43) [5-(2,5-dimethylphenyl)furan-2-ylcarbonyl]guanidine,
44) [5-(2,3-dimethylphenyl)furan-2-ylcarbonyl]guanidine,
45) [5-(2,6-dimethoxyphenyl)furan-2-ylcarbonyl]guanidine,
46) [5-(2,3-dimethoxyphenyl)furan-2-ylcarbonyl]guanidine,
47) [5-(2,5-dimethoxyphenyl)furan-2-ylcarbonyl]guanidine,
48) [5-(2-methoxy-5-bromophenyl)furan-2-ylcarbonyl]guanidine,
49) [5-(2-hydroxy-5-chlorophenyl)furan-2-ylcarbonyl]guanidine,
50) [5-(2-ethoxy-5-chlorophenyl)furan-2-ylcarbonyl]guanidine, and
51) [5-(2-isopropoxy-5-chlorophenyl)furan-2-ylcarbonyl]guanidine.

[3] A preparation method for furancarbonylguanidine compound of Formula 1, as shown in the below Scheme 1, in which carboxylic acid derivative of compound II is reacted with guanidine in the presence of base or with excess of guanidine.

[Scheme 1]

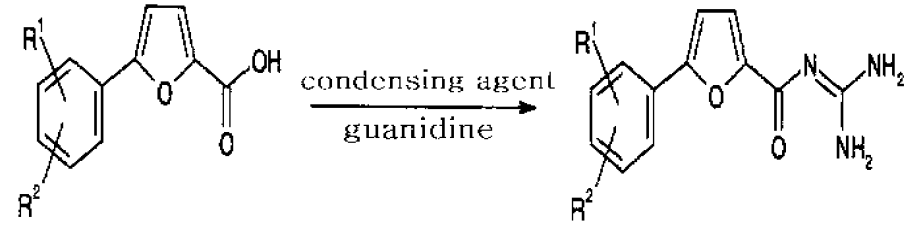


(II) (I)

(Wherein, R^1 and R^2 are as defined in Formula 1, and L is a leaving group that is easily substituted by guanidine.)

[4] A preparation method for furancarbonylguanidine compound of Formula 1, as shown in the below Scheme 2, in which carboxylic acid of compound III is reacted with guanidine in the presence of a condensating agent.

[Scheme 2]



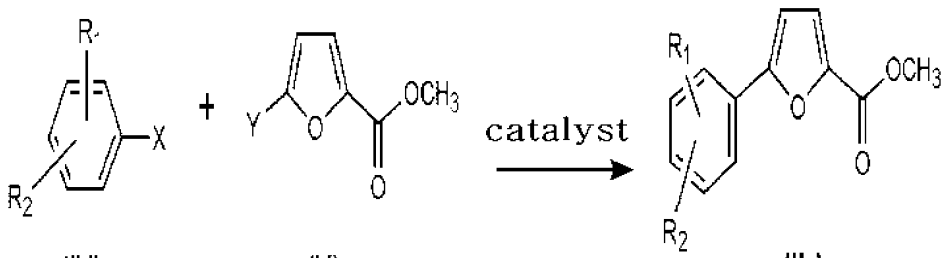
(III) (I)

(Wherein, R^1 and R^2 are as defined in Formula 1.)

[5] The preparation method as set forth in claim 4, wherein the condensating agent is selected from a group consisting of N,N-carbonyldiimidazole, dicyclohexylcarbodiimide (DCC), diisopropylcarbodiimide (DIPC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide (WSC) and diphenylphosphonylazide (DPPA).

[6] A preparation method for furan compound having a benzene ring at the 5th site, as shown in the below Scheme 3a, in which phenylboronic acid or stanylphenyl derivative compound IV and 5-halofuran compound V are reacted in the presence of a palladium catalyst, which is Stille-type coupling or Suzuki-type coupling, to give compound II_1.

[Scheme 3a]



(IV) (V) (II1)

(Wherein, R^1 and R^2 are as defined in Formula 1, in which X is $B(OH)_2$, BCl_2, BBr_2, $SnBu_3$, $SnMe_3$, or ZnCl, and Y is halogen (Br, I, Cl) or OSO_2CF_3.)

[7] A pharmaceutical composition containing furancarbonylguanidine derivative and pharmaceutically acceptable salts thereof of claim 1 as an effective ingredient for the prevention and the treatment of ischemic heart disease.

[8] The pharmaceutical composition as set forth in claim 7, wherein the ischemic heart disease is myocardial infarction, arrhythmia or angina pectoris.

[9] A pharmaceutical composition containing furancarbonylguanidine derivative and pharmaceutically acceptable salts thereof of claim 1 as an effective ingredient for the protection of heart against ischemic/reperfusion injury caused by reperfusion therapy.

[10] The pharmaceutical composition as set forth in claim 9, wherein the reperfusion therapy is cardiac surgery such as coronary artery bypass graft and percutaneous transluminal coronary angioplasty coronary artery bypass graft or medication including the use of thrombolytics.